(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 9 September 2005 (09.09.2005)

PCT

(10) International Publication Number WO 2005/082894 A1

- (51) International Patent Classification⁷: C07D 403/10, 403/14, 235/20, C07F 13/00, C07K 2/00, 14/00, 14/47, A61K 31/4184, 38/02, 38/31, 39/12, 39/42, 51/08, 51/10, A61N 5/06, A61P 35/00
- (21) International Application Number:

PCT/AU2005/000266

- (22) International Filing Date: 25 February 2005 (25.02.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:

2004901013 27 February 2004 (27.02.2004) AU

- (71) Applicant (for all designated States except US): PETER MACCALLUM CANCER INSTITUTE [AU/AU]; St Andrews Place, East Melbourne, Victoria 3002 (AU).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): WHITE, Jonathan, Michael [NZ/AU]; 11 Freeman Street, Wheelers Hill, Victoria 3150 (AU). LOBACHEVSKY, Pavel, Nikolaevich [AU/AU]; 16 Balwyn Road, Bulleen, Victoria 3105 (AU). KARAGIANNIS, Thomas, Chris [AU/AU]; 108 Wales Street, Thornbury, Victoria 3071 (AU). MARTIN, Roger, Francis [AU/AU]; 2/109 Green Street, Ivanhoe, Victoria 3079 (AU).

- (74) Agents: ROBERTS, Mark, K et al.; Davies Collison Cave, 1 Nicholson Street, Melbourne, Victoria 3000 (AU).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CELL TARGETING CONJUGATES

(57) Abstract: The present invention relates to cell targeting conjugates and in particular, but not exclusively, to methods of their use in selectively eliminating and in selectively imaging target cells. The invention also relates to processes for production of the conjugates and to intermediate compounds that may be used in production of a specific class of cell targeting conjugates. In one embodiment there is provided a cell targeting conjugate comprising the following components that are covalently conjugated via a linker that is degradable within the target cells: i) a DNA minor groove binding ligand incorporating an effective Auger electron-emitting and/or gamma-emitting and/or positron-emitting atom or photoactive moiety; ii) a target cell specific protein or peptide that is capable of internalisation by target cells.

